

=> d his

(FILE 'HOME' ENTERED AT 15:46:17 ON 16 JUN 2002)

FILE 'REGISTRY' ENTERED AT 15:46:21 ON 16 JUN 2002

L1 STRUCTURE UPLOADED

L2 17 S L1

L3 458 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 15:47:04 ON 16 JUN 2002

L4 10 S L3

L5 2 S L4 AND BIEDIGER, R?/AU

L6 8 S L4 NOT L5

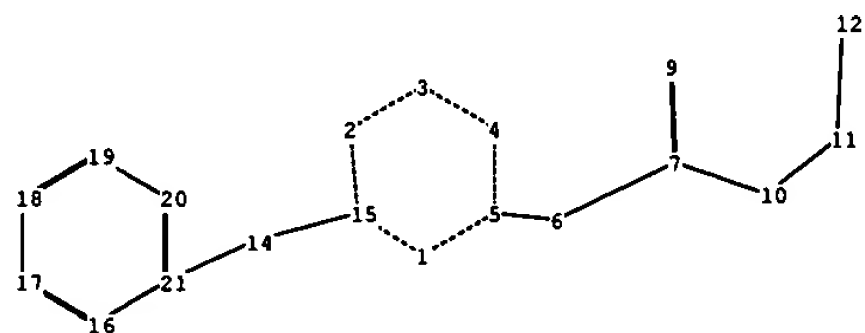
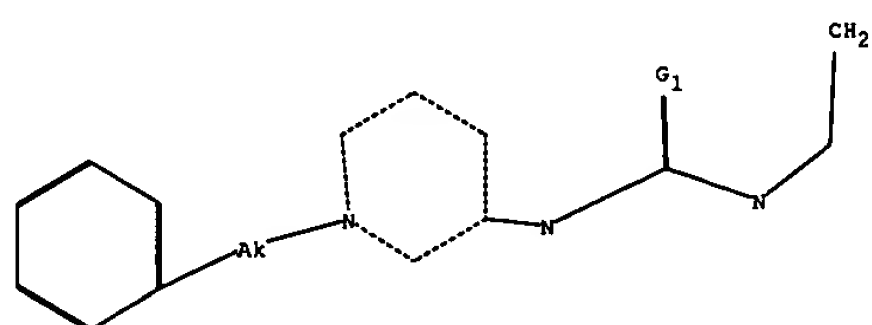
L7 6 S L6 AND PD < JUNE 1999

FILE 'CAOLD' ENTERED AT 15:48:35 ON 16 JUN 2002

=> s 13

L8 0 L3

STN Structure : 09973142.str



chain nodes :

6 7 9 10 11 12 14

ring nodes :

1 2 3 4 5 15 16 17 18 19 20 21

chain bonds :

5-6 6-7 7-9 7-10 10-11 11-12 14-15 14-21

ring bonds :

1-5 1-15 2-3 2-15 3-4 4-5 16-17 16-21 17-18 18-19 19-20 20-21

exact/norm bonds :

1-5 1-15 2-3 2-15 3-4 4-5 5-6 6-7 7-9 7-10 10-11 14-15 14-21

exact bonds :

11-12

normalized bonds :

16-17 16-21 17-18 18-19 19-20 20-21

isolated ring systems :

containing 1 :

G1:O,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 9:CLASS 10:CLASS 11:CLASS
12:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal612BXR

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	Jan 25	BLAST(R) searching in REGISTRY available in STN on the Web
NEWS	3	Jan 29	FSTA has been reloaded and moves to weekly updates
NEWS	4	Feb 01	DKILIT now produced by FIZ Karlsruhe and has a new update frequency
NEWS	5	Feb 19	Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS	6	Mar 08	Gene Names now available in BIOSIS
NEWS	7	Mar 22	TOXLIT no longer available
NEWS	8	Mar 22	TRCTHERMO no longer available
NEWS	9	Mar 28	US Provisional Priorities searched with P in CA/CAPLUS and USPATFULL
NEWS	10	Mar 28	LIPINSKI/CALC added for property searching in REGISTRY
NEWS	11	Apr 02	PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS	12	Apr 08	"Ask CAS" for self-help around the clock
NEWS	13	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS	14	Apr 09	ZDB will be removed from STN
NEWS	15	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS	16	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS	17	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS	18	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS	19	Jun 03	New e-mail delivery for search results now available
NEWS	20	Jun 10	MEDLINE Reload
NEWS	21	Jun 10	PCTFULL has been reloaded
NEWS EXPRESS			February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 15:46:17 ON 16 JUN 2002

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:46:21 ON 16 JUN 2002
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STRUCTURE FILE UPDATES: 14 JUN 2002 HIGHEST RN 430529-46-7
DICTIONARY FILE UPDATES: 14 JUN 2002 HIGHEST RN 430529-46-7

TSCA INFORMATION NOW CURRENT THROUGH January 7, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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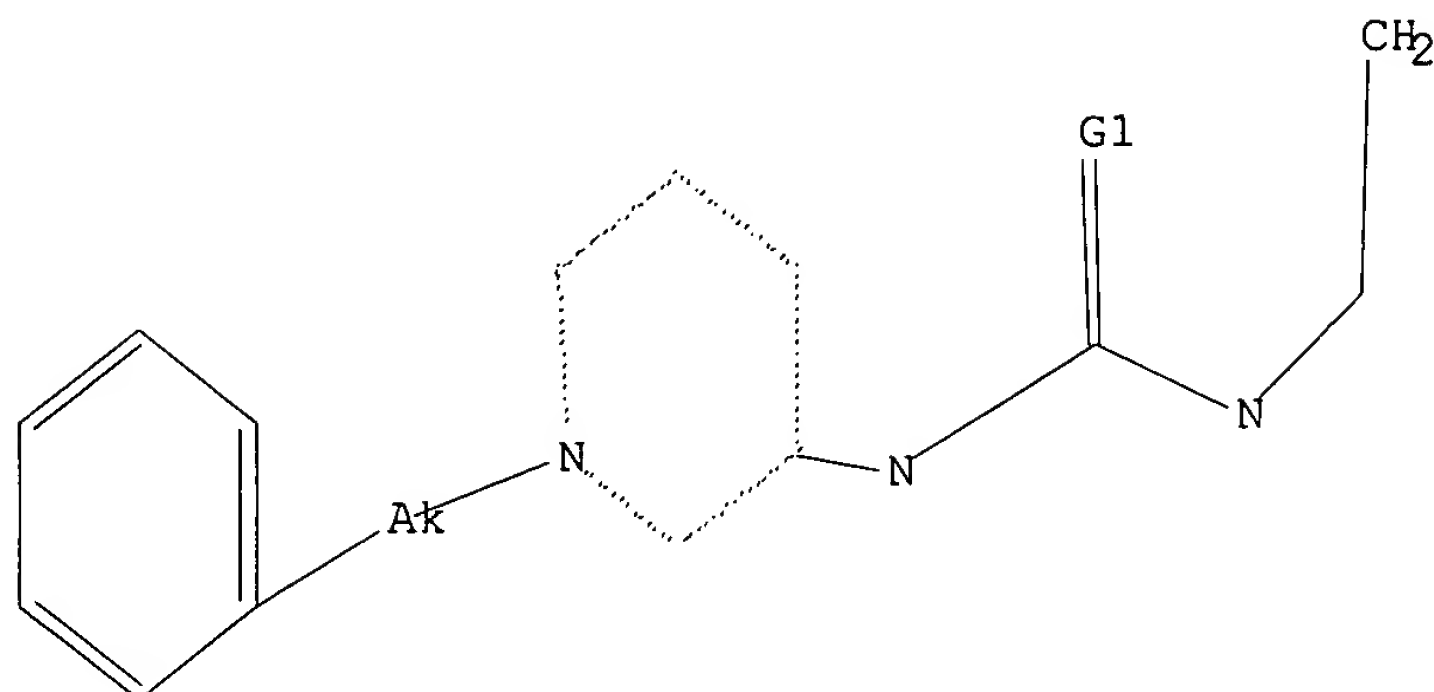
Uploading 09973142.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:46:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 198 TO ITERATE

100.0% PROCESSED 198 ITERATIONS 17 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3116 TO 4804
PROJECTED ANSWERS: 93 TO 587

L2 17 SEA SSS SAM L1

=> s l1 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 139.90 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 15:46:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4557 TO ITERATE

100.0% PROCESSED 4557 ITERATIONS 458 ANSWERS
SEARCH TIME: 00.00.02

L3 458 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.28	140.49

FILE 'HCAPLUS' ENTERED AT 15:47:04 ON 16 JUN 2002

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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 COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 16 Jun 2002 VOL 136 ISS 25
 FILE LAST UPDATED: 14 Jun 2002 (20020614/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s 13

L4 10 L3

=> s 14 and pd < biediger, r?/au

DATE SPECIFICATION IS NOT VALID

Date specifications may use ranges and numeric operators. The date itself can be in any of the following general formats:

STN Format: YYYYMMDD

Slash Format: MM/DD/YYYY or MM/YYYY

Dot Format: DD.MM.YYYY or MM.YYYY

Text Format:	February 10, 1987	Feb 1989
	Feb. 10, 1987	1990
	Feb. 10, 2000	1998 - 2001
	Feb 10, 1987	July 1997 - May 2002
	10 February 1987	March 5 - 8, 1990
	10 Feb 2007	April - June, 1999

Any year entered with only two digits will be interpreted as being in the range 1900-1999. Thus, Mar 12 01 will be searched as 19010312.

=> s 14 and biediger, r?/au

27 BIEDIGER, R?/AU

L5 2 L4 AND BIEDIGER, R?/AU

=> d 15, ibib abs fhitr, 1-2

L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:349146 HCAPLUS

DOCUMENT NUMBER: 136:369608

TITLE: Preparation of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of .alpha.4.beta.1 integrin binding

INVENTOR(S): **Biediger, Ronald J.**; Chen, Qi; Holland, George W.; Kassir, Jamal M.; Li, Wen; Market, Robert V.; Scott, Ian L.; Wu, Chengde; Decker, Radford E.; Li, Jian

PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA

SOURCE: Eur. Pat. Appl., 131 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

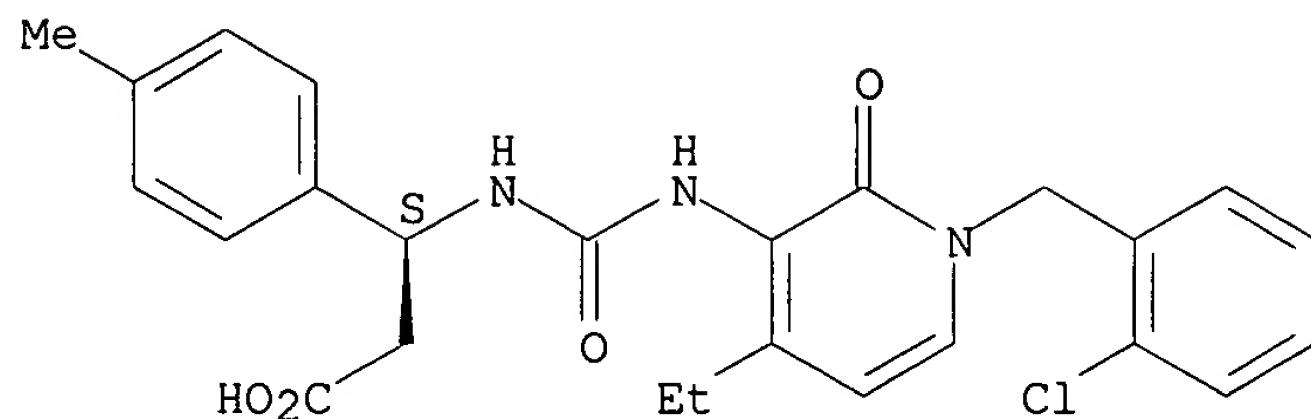
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1203766	A2	20020508	EP 2001-125494	20011106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-707068	A 20001106
			US 2001-973142	A 20011009
OTHER SOURCE(S): MARPAT 136:369608				
AB Title compds. were prepd. Thus, 2-ClC6H4CH2ZNH2 (Z = 4-ethyl-2-oxo-1,2-dihydropyridine-1,3-diyl)(prepn. given) was condensed with (S)-4-MeC6H4CH(NH2)CH2CO2Et and COCl2 to give, after sapon., (S)-2-ClC6H4CH2ZNHCONHCH(C6H4Me-4)CH2CO2H (Z as above). Data for biol. activity of title compds. were given.				
IT 307520-20-3P				
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
(prepn. of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of .alpha.4.beta.1 integrin binding)				
RN 307520-20-3 HCAPLUS				
CN Benzenepropanoic acid, .beta.-[[[1-[(2-chlorophenyl)methyl]-4-ethyl-1,2-dihydro-2-oxo-3-pyridinyl]amino]carbonyl]amino]-4-methyl-, (.beta.S)-(9CI) (CA INDEX NAME)				

Absolute stereochemistry.



L5 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:814302 HCAPLUS

DOCUMENT NUMBER: 133:362963

TITLE: Preparation of .beta.-amino acid derivatives that inhibit the binding of integrins to their receptors

INVENTOR(S): **Biediger, Ronald J.**; Chen, Qi; Holland, George W.; Kassir, Jamal M.; Li, Wen; Market, Robert V.; Scott, Ian L.; Wu, Chengde

PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA

SOURCE: PCT Int. Appl., 113 pp.

CODEN: PIXXD2

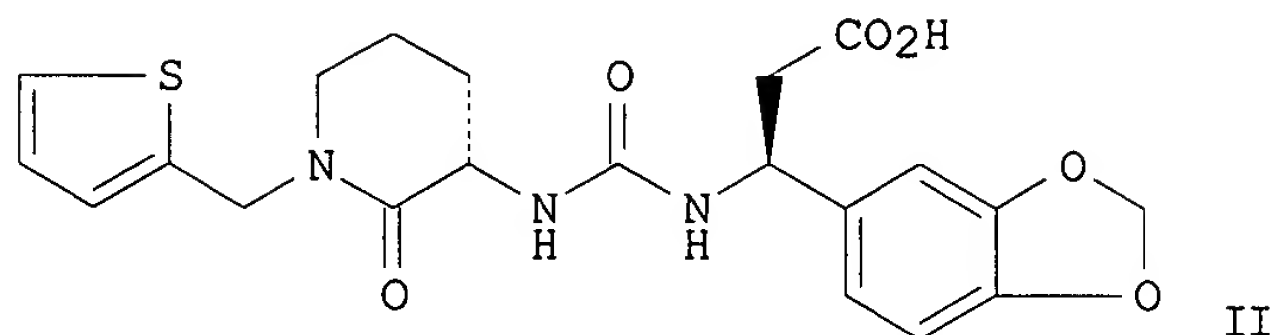
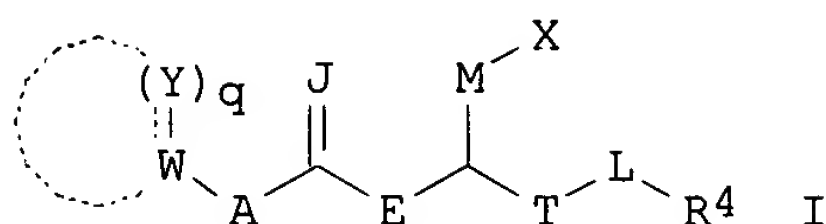
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000067746	A1	20001116	WO 2000-US12303	20000505
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1176956	A1	20020206	EP 2000-937527	20000505
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
NO 2001005418	A	20011221	NO 2001-5418	20011106
PRIORITY APPLN. INFO.:			US 1999-132197P	P 19990507
			WO 2000-US12303	W 20000505
OTHER SOURCE(S):	MARPAT 133:362963			
GI				



AB Title compds. I [Y, at each occurrence, independently = CO, N, CR1, CR2R3,

NR5, CH, O, or S; q = 3-10; A = O, S, CR16R17, NR6; E = CH2, O, S, NR7; J = O, S, NR8; M = CR9R10 or (CH2)0-3; T = CO or (CH2)0-3; L = O, NR11, S, (CH2)0-1; X = CO2B, PO3H2, SO3H, SO2NH2, SO2NHCOR12, OPO3H2, CONHCOR13, CONHSO2R14, tetrazolyl, hydroxyl, H; W = C, CR15, N; B, R1-17 = H, halo, hydroxyl, alkyl, alkoxy, aliph. acyl, CF3, nitro, cycloalkyl, alkylheteroaryl, sulfonyl, carboxyl, etc.] or their pharmaceutically acceptable salts were prepd. for inhibition of the binding of .alpha.4.beta.1 integrin to its receptors. Thus, II was prepd. and assayed (IC50 = 0.2 .mu.M) for its ability to suppress binding using a 26-amino acid peptide contg. the CS-1 sequence of fibronectin with N-terminal cysteine coupled to maleimide activated ovalbumin.

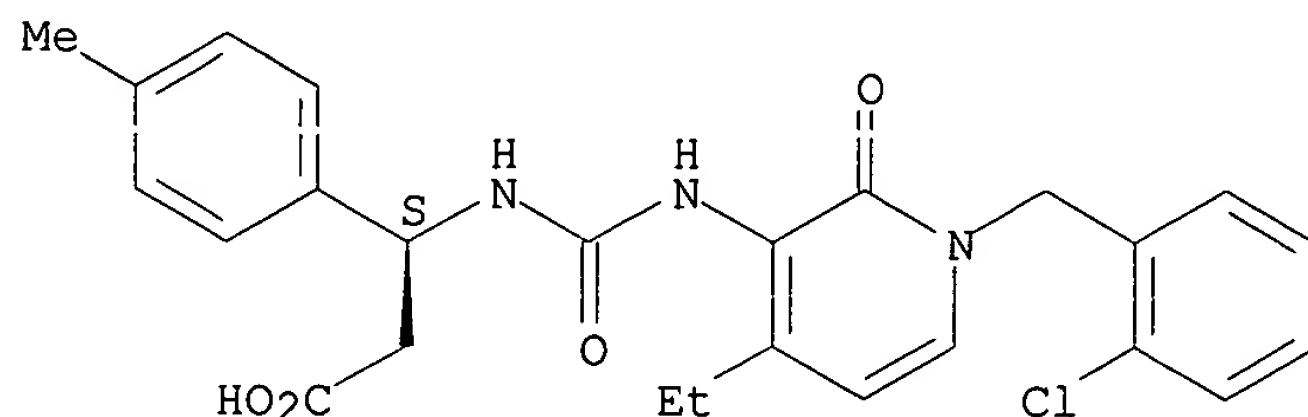
IT **307520-20-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of .beta.-amino acid derivs. that inhibit the binding of integrins to their receptors)

RN 307520-20-3 HCAPLUS

CN Benzenepropanoic acid, .beta.-[[[1-[(2-chlorophenyl)methyl]-4-ethyl-1,2-dihydro-2-oxo-3-pyridinyl]amino]carbonyl]amino]-4-methyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

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(FILE 'HOME' ENTERED AT 15:46:17 ON 16 JUN 2002)

FILE 'REGISTRY' ENTERED AT 15:46:21 ON 16 JUN 2002

L1 STRUCTURE UPLOADED
 L2 17 S L1
 L3 458 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 15:47:04 ON 16 JUN 2002

L4 10 S L3
 L5 2 S L4 AND BIEDIGER, R?/AU

=> s l4 not l5

L6 8 L4 NOT L5

=> s l6 and pd < june 1999

19624739 PD < JUNE 1999
 (PD<19990600)
 L7 6 L6 AND PD < JUNE 1999

=> d l7, ibib abs fhitstr, 1-6

L7 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1998:146699 HCAPLUS
 DOCUMENT NUMBER: 128:205145
 TITLE: Piperidine, pyrrolidine and hexahydro-1H-azepine
 peptide analogs promote release of growth hormone
 INVENTOR(S): Chen, Meng H.; Nargund, Ravi; Patchett, Arthur A.;
 Yang, Lihu
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: U.S., 95 pp., Cont.-in-part of U.S. 5,492,920.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5721251	A	19980224	US 1996-600912	19960213 <--
US 5492920	A	19960220	US 1994-323998	19941017 <--
PRIORITY APPLN. INFO.:			US 1993-165149	19931210
			US 1994-323998	19941017
OTHER SOURCE(S):		MARPAT 128:205145		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention = directed to certain novel compds. identified as substituted piperidines, pyrrolidines and hexahydro-1H-azepines of the general structural formula I [R1 = e.g., C1-10 alkyl, aryl, aryl(C1-6 alkyl); R3 = e.g., (CH2)q-Ph, (CH2)q-naphthyl, C3-7 cycloalkyl; X = e.g., H, cyano; Y = e.g., H, C1-10 alkyl; R4 and R5 = independently, e.g., H, C1-6 alkyl; A = (CH2)xCR7R7a(CH2)y, Z(CH2)xCR7R7a(CH2)y; x, y = 0-3; Z = NR6a, O; R6a = H, C1-6 alkyl; R7, R7a = independently, e.g., H, C1-6 alkyl, CF3; n = 1-3; q = 0-3]. These compds. promote the release of growth hormone in humans and animals (no data). This property can be utilized to promote the growth of food animals to render the prodn. of edible meat products more efficient, and in humans, to treat physiol. or medical conditions characterized by a deficiency in growth hormone secretion, such as short stature in growth hormone deficient children,

and

to treat medical conditions which are improved by the anabolic effects of growth hormone. Growth hormone releasing compns. contg. such compds. as the active ingredient thereof are also disclosed. Thus, e.g., amide coupling of phenylpiperidine II.HCl (prepn. given) with (2R)-N-Boc-amino-5-phenylpentanoic acid followed by deprotection and coupling with N-Boc-.alpha.-methylalanine and deprotection afforded piperidine deriv. III.HCl.

IT **170840-83-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); FFD (Food or feed use); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

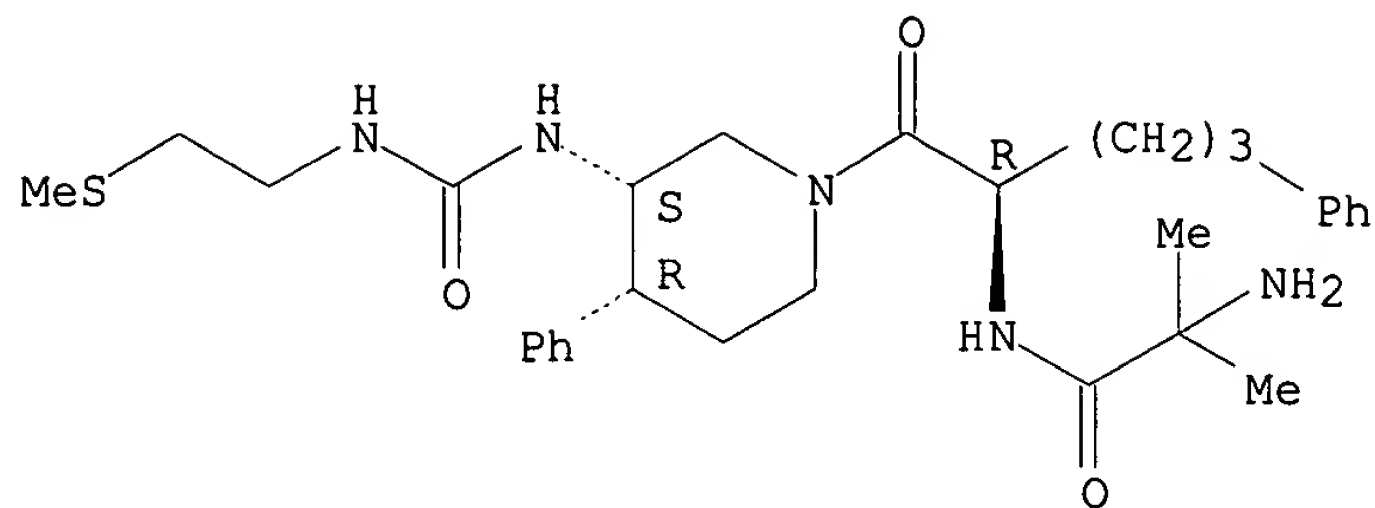
(prepn. of piperidine, pyrrolidine, and hexahydroazepine peptide analogs as growth hormone release promoters)

RN 170840-83-2 HCAPLUS

CN Propanamide,

2-amino-2-methyl-N-[1-[[3-[[[2-(methylthio)ethyl]amino]carbonyl]amino]-4-phenyl-1-piperidinyl]carbonyl]-4-phenylbutyl]-, monohydrochloride, [3S-[1(S*),3.alpha.,4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L7 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:124013 HCAPLUS

DOCUMENT NUMBER: 128:192544

TITLE: Preparation of indole and carbazole derivatives as serotonin agonists

INVENTOR(S): Johnson, Kirk W.; Phebus, Lee A.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Johnson, Kirk W.; Phebus, Lee A.

SOURCE: PCT Int. Appl., 271 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806402	A1	19980219	WO 1997-US14097	19970812 <--
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
US 5962473	A	19991005	US 1997-906770	19970805
EP 824917	A2	19980225	EP 1997-306130	19970812 <--
EP 824917	A3	20000830		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
AU 9740615	A1	19980306	AU 1997-40615	19970812 <--
AU 716904	B2	20000309		
BR 9711147	A	19990817	BR 1997-11147	19970812
CN 1233180	A	19991027	CN 1997-198718	19970812
JP 2000516233	T2	20001205	JP 1998-509943	19970812
NO 9900701	A	19990416	NO 1999-701	19990215 <--
US 6380201	B1	20020430	US 1999-262726	19990304
PRIORITY APPLN. INFO.:			US 1996-24096P	P 19960816
			US 1997-906770	A3 19970805

WO 1997-US14097 W 19970812

OTHER SOURCE(S): MARPAT 128:192544
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

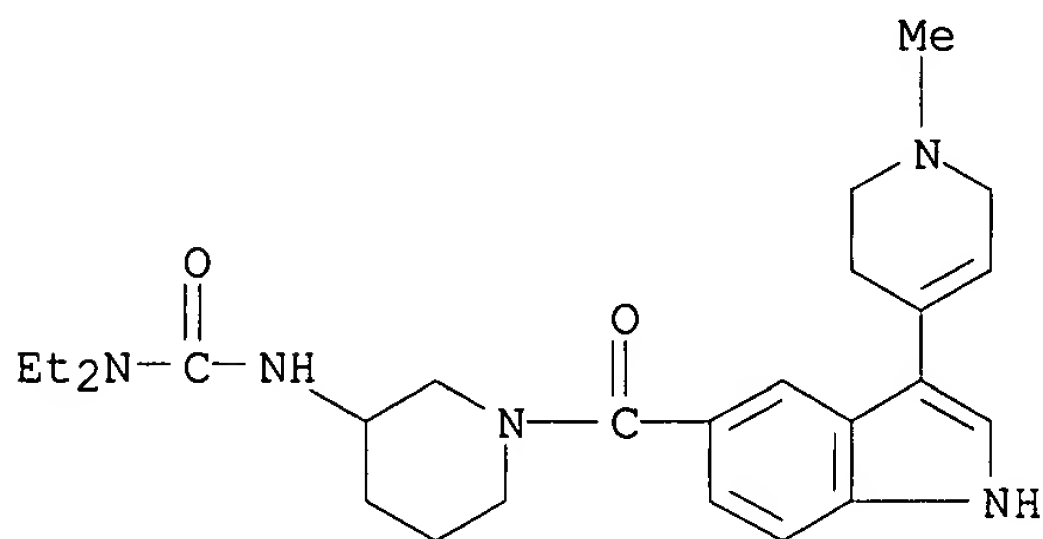
AB Title compds. I (A-B = CHCH₂, C:CH; Ar = pyridinyl, pyrrolyl, (un)substituted pyrazolyl; X = H, halo, alkoxy, OH, benzyloxy, carboxamido, alkyl, alkylthio; p = 1-4), II (R = H, alkyl, naphthylalkyl, naphthylthioalkyl, phenylthioalkyl, etc.; R₁ = H, alkyl; X = alkylthio, alkylcarbonyl, alkylsulfonylamido, etc.), III (R₂ = H, alkyl, arylethyl; R₃ = H, alkyl, arylethyl; X = OH, alkylcarbonylamino, alkylcarbonyl, etc.);
m = 0-1; n = 1-2), IV (R₂ = alky; R₃ = alkyl, cycloalkyl, etc.; R₄ = alkyl, phenyl; R₅ = alkyl, cycloalkyl, (un)substituted Ph, naphthyl, etc.),
and pharmaceutically acceptable acid salts were prep'd. and methods for the treatment or amelioration of the symptoms of the common cold or allergic rhinitis which comprises administering the title compds. and salts to human as serotonin 5-HT agonists in both injectable and oral compns. were tested. N-(4-fluorobenzoyl)-5-amino-3-(1-methylpiperidin-4-yl)-indole is the most preferred comp'd.

IT 203710-08-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole and carbazole derivs. as 5-HT agonists)

RN 203710-08-1 HCAPLUS

CN 3-Piperidinamine, N-[(diethylamino)carbonyl]-1-[[3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:172221 HCAPLUS

DOCUMENT NUMBER: 125:11469

TITLE: Piperidine, pyrrolidine and hexahydro-1H-azepine peptide analogs promote release of growth hormone

INVENTOR(S): Chen, Meng H.; Nargund, Ravi; Patchett, Arthur A.;

PATENT ASSIGNEE(S): Yang, Lihu
 SOURCE: Merck and Co., Inc., USA
 U.S., 74 pp. Cont.-in-part of U.S. Ser. No. 165,149,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5492920	A	19960220	US 1994-323998	19941017 <--
WO 9513069	A1	19950518	WO 1994-US12816	19941107 <--
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, US, US, UZ RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2175218	AA	19950518	CA 1994-2175218	19941107 <--
AU 9511729	A1	19950529	AU 1995-11729	19941107 <--
EP 739204	A1	19961030	EP 1995-902467	19941107 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 74733	A2	19970228	HU 1996-1230	19941107 <--
BR 9408019	A	19970826	BR 1994-8019	19941107 <--
CN 1174504	A	19980225	CN 1994-194738	19941107 <--
JP 10506091	T2	19980616	JP 1994-513932	19941107 <--
US 5721251	A	19980224	US 1996-600912	19960213 <--
FI 9601951	A	19960508	FI 1996-1951	19960508 <--
NO 9601865	A	19960708	NO 1996-1865	19960508 <--
LV 11525	B	19970220	LV 1996-151	19960522 <--
PRIORITY APPLN. INFO.:			US 1993-165149	19931210
			US 1993-149441	19931109
			US 1993-173449	19931223
			US 1994-323988	19941017
			US 1994-323994	19941017
			US 1994-323998	19941017
			WO 1994-US12816	19941107
OTHER SOURCE(S):			MARPAT 125:11469	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention is directed to certain novel compds. identified as
 substituted piperidines, pyrrolidines and hexahydro-1H-azepines of the
 general structural formula I wherein: R1 = e.g., C1-C10 alkyl, aryl,
 aryl(C1-C6 alkyl); R3 = e.g., (CH2)r-Ph, (CH2)r-naphthyl, C3-7
 cycloalkyl;
 X = e.g., H, CN; Y = e.g., H, C1-10 alkyl; R4 and R5 are independently,
 e.g., H, C1-6 alkyl; A = (CH2)xCR7R7a(CH2)y or Z(CH2)xCR7R7a(CH2)y where
 x
 and y are independently 0, 1, 2, or 3; Z is NR6a or O, where R6a = H or

C1-6 alkyl; R7 and R7a are independently, e.g., H, C1-6 alkyl, CF3; n is 1, 2, or 3; r is 0, 1, 2, or 3. These compds. promote the release of growth hormone in humans and animals (no data). This property can be utilized to promote the growth of food animals to render the prodn. of edible meat products more efficient, and in humans, to treat physiol. or medical conditions characterized by a deficiency in growth hormone secretion, such as short stature in growth hormone deficient children,

and

to treat medical conditions which are improved by the anabolic effects of growth hormone. Growth hormone releasing compns. contg. such compds. as the active ingredient thereof are also disclosed. Thus, e.g., amide coupling of phenylpiperidine II.HCl (prepn. given) with (2R)-N-Boc-amino-5-phenylpentanoic acid followed by deprotection and coupling with N-Boc-.alpha.-methylalanine and deprotection afforded piperidine deriv. III.HCl.

IT **170840-83-2P**

RL: BAC (Biological activity or effector, except adverse); FFD (Food or feed use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

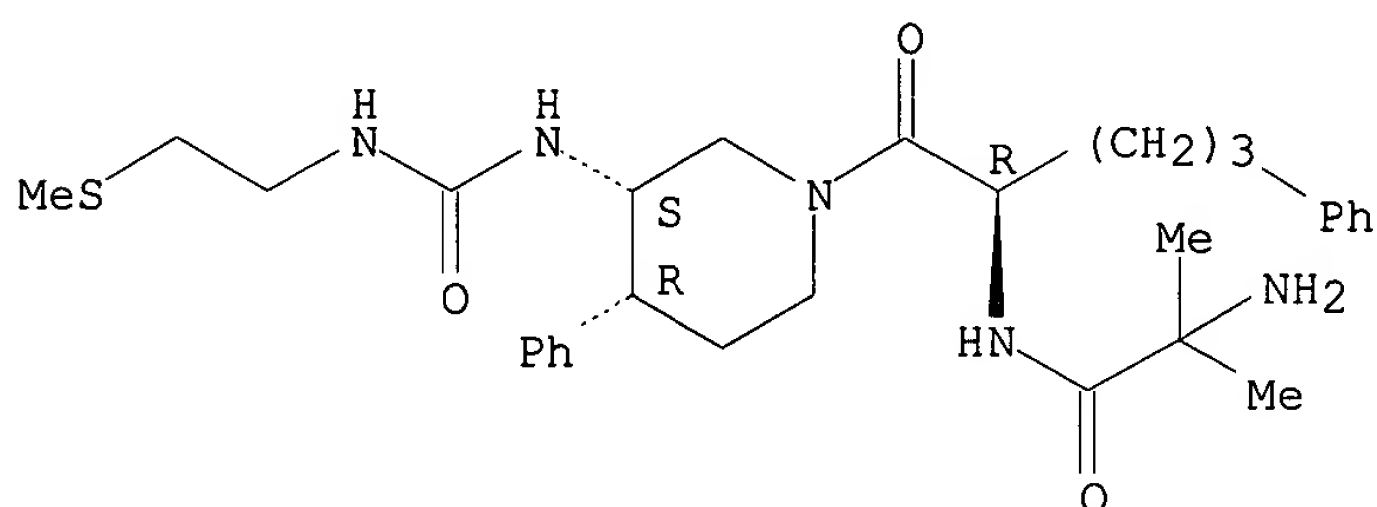
(piperidine, pyrrolidine, and hexahydro-1H-azepine peptide analogs promote release of growth hormone)

RN 170840-83-2 HCAPLUS

CN Propanamide,

2-amino-2-methyl-N-[1-[[3-[[[2-(methylthio)ethyl]amino]carbonyl]amino]-4-phenyl-1-piperidinyl]carbonyl]-4-phenylbutyl]-, monohydrochloride, [3S-[1(S*),3.alpha.,4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L7 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:951172 HCAPLUS

DOCUMENT NUMBER: 124:8627

TITLE: Preparation of piperidines, pyrrolidines and hexahydro-1H-azepines which promote the release of growth hormone

INVENTOR(S): Morriello, Gregori J.; Patchett, Arthur A.; Yang, Lihu; Chen, Meng H.; Nargund, Ravi

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 417 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9513069	A1	19950518	WO 1994-US12816	19941107 <--
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, US, US, UZ RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5492916	A	19960220	US 1994-323988	19941017 <--
US 5492920	A	19960220	US 1994-323998	19941017 <--
US 5494919	A	19960227	US 1994-323994	19941017 <--
AU 9511729	A1	19950529	AU 1995-11729	19941107 <--
EP 739204	A1	19961030	EP 1995-902467	19941107 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
BR 9408019	A	19970826	BR 1994-8019	19941107 <--
JP 10506091	T2	19980616	JP 1994-513932	19941107 <--
US 5622973	A	19970422	US 1995-464982	19950605 <--
FI 9601951	A	19960508	FI 1996-1951	19960508 <--
NO 9601865	A	19960708	NO 1996-1865	19960508 <--
PRIORITY APPLN. INFO.:			US 1993-149441	19931109
			US 1993-165149	19931210
			US 1993-173449	19931223
			US 1994-323988	19941017
			US 1994-323994	19941017
			US 1994-323998	19941017
			US 1994-328988	19941017
			WO 1994-US12816	19941107
OTHER SOURCE(S):			MARPAT 124:8627	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = (un)substituted alkylene; R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted Ph, (un)substituted naphthyl, etc.; R3 = H, phenylalkyl, naphthylalkyl, alkyl, cycloalkyl, halogen, etc.; R4, R5 = H, (un)substituted alkyl; W = H, CN, (un)substituted CO2H, (un)substituted CONH2, etc.; X = H, CN, (un)substituted aminoalkyl, etc.; Y = H, (un)substituted alkyl, arylalkyl, etc.; n = 1-3] (e.g., II), which promote the release of growth hormone in humans and animals (no data) and can be utilized to promote the growth of food animals to render the prodn. of edible meat products more efficiently (no data), and in humans to treat physiol. or medical conditions characterized by a deficiency in growth hormone secretion (no data), are prepd. I-contg. growth hormone-releasing formulations are claimed.

IT **170840-83-2P**

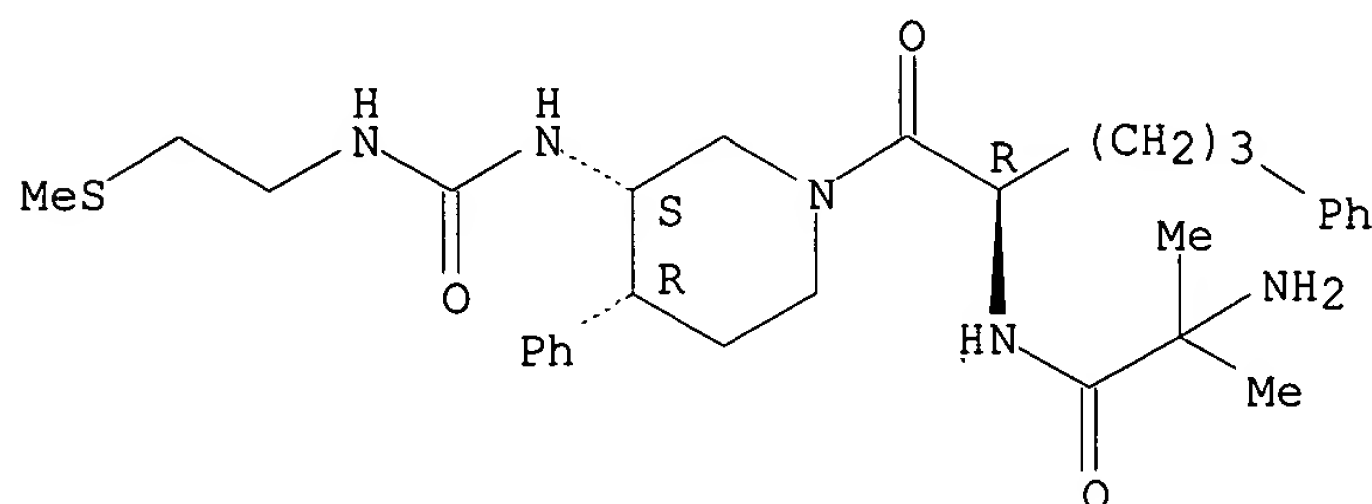
RL: FFD (Food or feed use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of piperidines, pyrrolidines and hexahydro-1H-azepines which promote the release of growth hormone)

RN 170840-83-2 HCAPLUS

CN Propanamide,

2-amino-2-methyl-N-[1-[[3-[[[2-(methylthio)ethyl]amino]carbonyl]amino]-4-phenyl-1-piperidinyl]carbonyl]-4-phenylbutyl]-, monohydrochloride, [3S-[1(S*),3.alpha.,4.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L7 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:551028 HCAPLUS

DOCUMENT NUMBER: 122:302892

TITLE: Silver halide photographic material with decreased residual color

INVENTOR(S): Yamada, Taketoshi; Oonishi, Akira; Usagawa, Yasushi

PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

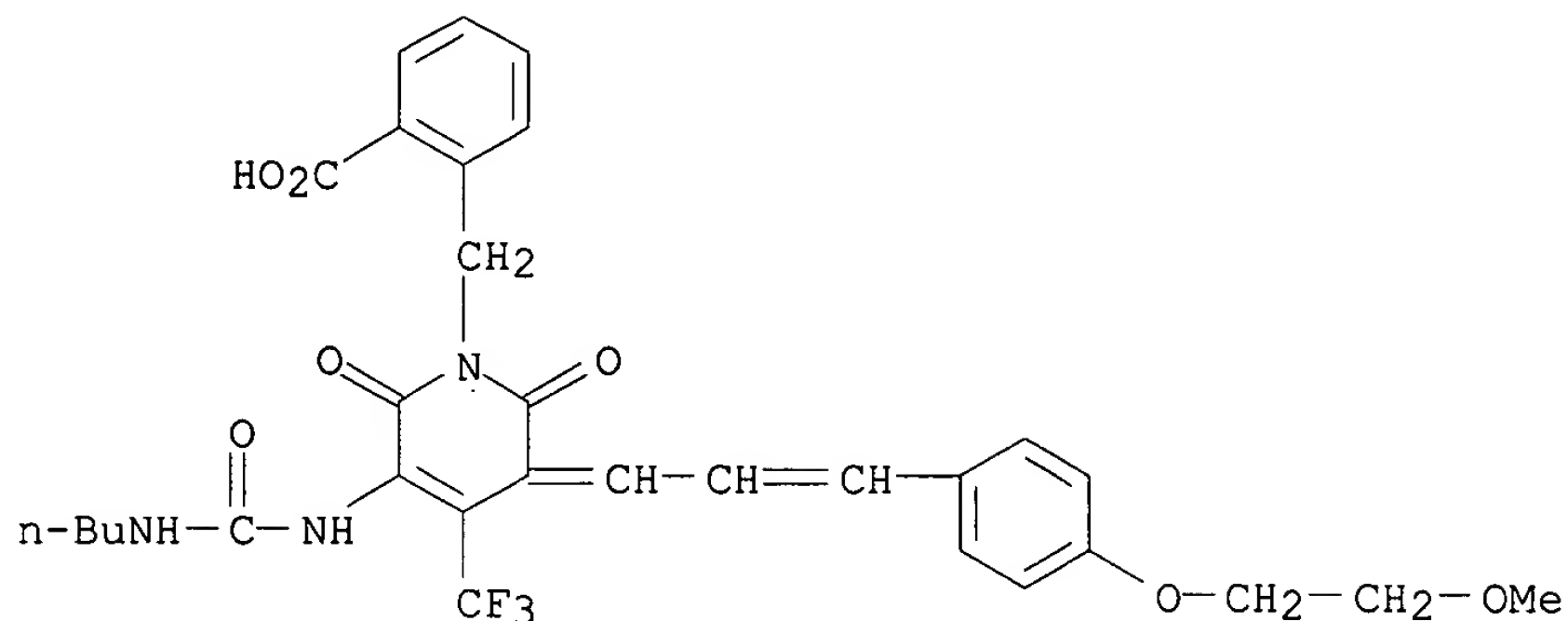
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 06347948	A2	19941222	JP 1993-133470	19930603 <--
AB	The title material comprises .gtoreq.1 photog. layers contg. .gtoreq.1 kinds of cyanine dyes selected from claimed cyanine dyes. The above material is developed in .ltoreq.45, .ltoreq.30 or .ltoreq.15 s.				
IT	163074-28-0				
	RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)				
	(silver halide photog. material with decreased residual color)				
RN	163074-28-0 HCAPLUS				
CN	Benzoic acid, 2-[[5-[[[butylamino]carbonyl]amino]-3,6-dihydro-3-[3-[4-(2-methoxyethoxy)phenyl]-2-propenylidene]-2,6-dioxo-4-(trifluoromethyl)-1(2 ^u)-				

pyridinyl]methyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1980:514279 HCAPLUS

DOCUMENT NUMBER: 93:114279

TITLE: Synthesis of nitrosourea derivatives of pyridine and piperidine as potential anticancer agents

AUTHOR(S): Crider, A. Michael; Lamey, Randall; Floss, Heinz G.; Cassady, John M.; Bradner, William J.

CORPORATE SOURCE: Sch. Pharm. Pharm. Sci., Purdue Univ., West Lafayette,

IN, 47907, USA

SOURCE: J. Med. Chem. (1980), 23(8), 848-51

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

AB RNHCON(NO)CH₂CH₂Cl (I; R = 1-benzyl-3-piperidinyl, 1-benzyl-4-piperidinyl,

1-butyl-4-piperidinyl, 1-ethyl-3-piperidinyl, 3-pyridyl) were prepd. (by reaction of RNH₂ with ClCH₂CH₂NCO followed by nitrosation of RNHCONHCH₂CH₂Cl) and evaluated for anticancer activity. I (R = 1-benzyl-4-piperidinyl) hydrogen maleate exhibited good activity against intracranial L1210 leukemia as well as the mouse ependymoblastoma brain tumor system. It exhibited comparable activity in the Lewis lung carcinoma system to N,N'-bis(2-chloroethyl)-N-nitrosourea. Replacement of

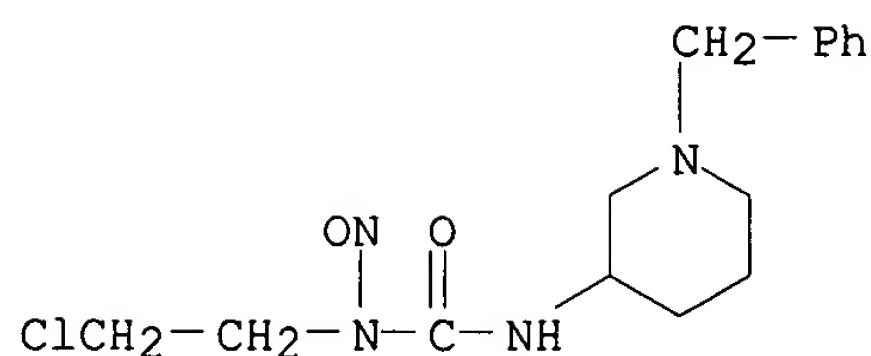
the N-benzyl group in both the 3-piperidinyl- and 4-piperidinyl nitrosoureas resulted in less active compds. in all tumor systems tested. I (R = 3-pyridyl) was inactive in the L-1210 leukemia system.

IT 74045-85-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and anticancer activity of)

RN 74045-85-5 HCAPLUS

CN Urea, N-(2-chloroethyl)-N-nitroso-N'-[1-(phenylmethyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)



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FULL ESTIMATED COST	41.53	182.02
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CA SUBSCRIBER PRICE	-4.96	-4.96

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FILE COVERS 1907-1966
 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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 L3 458 S L1 FULL

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 L5 2 S L4 AND BIEDIGER, R?/AU
 L6 8 S L4 NOT L5
 L7 6 S L6 AND PD < JUNE 1999

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